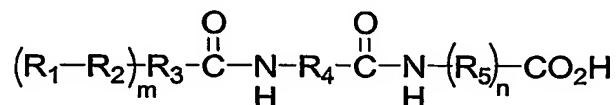


We claim:

1. A compound selected from the group consisting of:

5 Compounds of Formula I and pharmaceutically acceptable salts, esters and prodrugs thereof:



Formula I

10 where R₁ is any carbohydrate including mono-, di-, tri-, and tetrasaccharides and larger, which may contain one or more amino sugars, deoxy sugars or sialic acid sugars in any combination and in which any hydroxyl, amino or carboxyl functions are suitably modified by sulfation, alkylation, acylation, deoxygenation, diazotization, pegylation, and silylation;

15 R₂ is the atom or group at the anomeric position of the carbohydrate R₁ and may be O, S, NH or CH₂;

20 R₃ is a linker composed of alone or in any combination alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, alkoxy, aryloxy, alkylthio, arylthio, aryl, heteroaryl, heteroarylalkyl, heteroarylthio, acyloxy, carboxyesters, carboxamido, arylalkyl, haloalkyl, haloalkenyl, haloalkynyl, haloalkoxy, cycloalkyl, acyl, alkylacylamino or acylamino groups or amino acid residues;

R₄ and R₅, when substituted with NH₂ and CO₂H, are any natural amino acid or amino acid surrogate;

m is 1, 2, or 3; and n is any integer from 1 to 200.

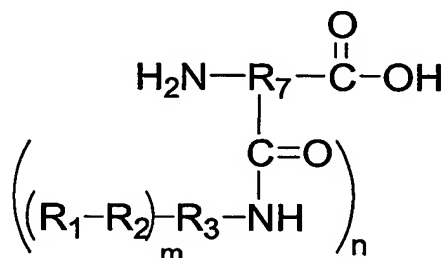
25 2. The compound according to Claim 1, wherein n is any integer from 1 to 100.

30 3. The compound according to Claim 1 having characteristics comprising: increased stability in the presence of peptidases; increased stability in the presence of proteases; increased thermal stability; increased dimer half-life; increased bioavailability; and increased plasma half-life relative to a non-glycosylated analog of the compound.

4. The compound according to Claim 1 wherein a particular sugar motif serves as a stable surrogate for a specific amino acid residue.

5. A compound selected from the group consisting of:

Compounds of Formula II and pharmaceutically acceptable salts, esters and prodrugs thereof:



Formula II

where R_1 is any carbohydrate including mono-, di-, tri-, and tetrasaccharides and larger, which may contain one or more amino sugars, deoxy sugars or sialic acid sugars in any combination and in which any hydroxyl, amino or carboxyl functions are suitably modified by sulfation, alkylation, acylation, deoxygenation, diazotization, pegylation, and silylation;

R_2 is the atom or group at the anomeric position of the carbohydrate R_1 and may be O, S, NH or CH_2 ;

R_3 is a linker composed of alone or in any combination alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, alkoxy, aryloxy, alkylthio, arylthio, aryl, heteroaryl, heteroarylalkyl, heteroarylthio, acyloxy, carboxyesters, carboxamido, arylalkyl, haloalkyl, haloalkenyl, haloalkynyl, haloalkoxy, cycloalkyl, acyl, alkylacylamino or acylamino groups or amino acid residues;

R_7 , when substituted with NH_2 and CO_2H , is any natural or synthetic peptide containing one or more amino acid residues with side chains bearing a hydroxyl or amine function such as serine, threonine, hydroxyproline, tyrosine, lysine, hydroxylysine, arginine, or any other amino acid surrogates containing a hydroxyl or amine function on the side chain;

m is 1, 2, or 3; and n is any integer from 1 to 200.

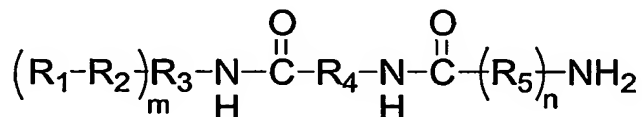
6. The compound according to Claim 5, wherein n is any integer from 1 to 100.

7. The compound according to Claim 5 having characteristics comprising: increased stability in the presence of peptidases; increased stability in the presence of proteases; increased thermal stability; increased dimer half-life; increased bioavailability; and increased plasma half-life relative to a non-glycosylated analog of the compound.

8. The compound according to Claim 5 wherein a particular sugar motif serves as a stable surrogate for a specific amino acid residue.

9. A compound selected from the group consisting of:

Compounds of Formula III and pharmaceutically acceptable salts, esters and prodrugs thereof:



Formula III

where R_1 is any carbohydrate including mono-, di-, tri-, and tetrasaccharides and larger, which may contain one or more amino sugars, deoxy sugars or sialic acid sugars in any combination and in which any hydroxyl, amino or carboxyl functions are suitably modified by sulfation, alkylation, acylation, deoxygenation, diazotization, pegylation, and silylation;

R_2 is the atom or group at the anomeric position of the carbohydrate R_1 and may be O, S, NH or CH_2 ;

R_3 is a linker composed of alone or in any combination alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, alkoxy, aryloxy, alkylthio, arylthio, aryl, heteroaryl, heteroarylalkyl, heteroarylthio, acyloxy, carboxyesters, carboxamido, arylalkyl, haloalkyl, haloalkenyl, haloalkynyl, haloalkoxy, cycloalkyl, acyl, alkylacylamino or acylamino groups or amino acid residues;

R_4 and R_5 , when substituted with NH_2 and CO_2H , are any natural amino acid or amino acid surrogate;

m is 1, 2, or 3; and n is any integer from 1 to 200.

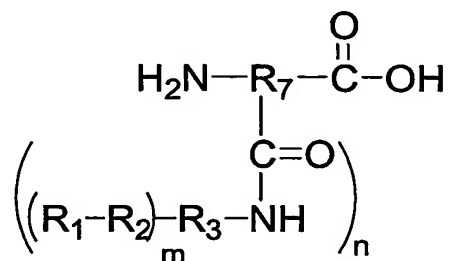
10. The compound according to Claim 9, wherein n is any integer from 1 to 100.

11. The compound according to Claim 9 having characteristics comprising: increased stability in the presence of peptidases; increased stability in the presence of proteases; increased thermal stability; increased dimer half-life; increased bioavailability; and increased plasma half-life relative to a non-glycosylated analog of the compound.

12. The compound according to Claim 9 wherein a particular sugar motif serves as a stable surrogate for a specific amino acid residue.

13. A compound selected from the group consisting of:

Compounds of Formula IV and pharmaceutically acceptable salts, esters and prodrugs thereof:



Formula IV

where R_1 is any carbohydrate including mono-, di-, tri-, and tetrasaccharides and larger, which may contain one or more amino sugars, deoxy sugars or sialic acid sugars in any combination and in which any hydroxyl, amino or carboxyl functions are suitably modified by sulfation, alkylation, acylation, deoxygenation, diazotization, pegylation, and silylation;

R_2 is the atom or group at the anomeric position of the carbohydrate R_1 and may be O, S, NH or CH_2 ;

R_3 is a linker composed of alone or in any combination alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, alkoxy, aryloxy, alkylthio, arylthio, aryl, heteroaryl, heteroarylalkyl, heteroarylthio, acyloxy, carboxyesters, carboxamido,

arylalkyl, haloalkyl, haloalkenyl, haloalkynyl, haloalkoxy, cycloalkyl, acyl, alkylacylamino or acylamino groups or amino acid residues;

R₇, when substituted with NH₂ and CO₂H, is any natural or synthetic peptide containing one or more amino acid residues with side chains bearing a carboxyl function such as aspartic acid or glutamic acid, or any other amino acid surrogates containing a carboxyl function on the side chain;

m is 1, 2, or 3; and n is any integer from 1 to 200.

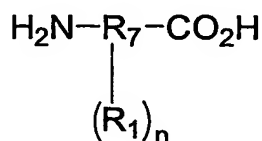
14. The compound according to Claim 13, wherein n is any integer from 1 to 100.

15. The compound according to Claim 13 having characteristics comprising: increased stability in the presence of peptidases; increased stability in the presence of proteases; increased thermal stability; increased dimer half-life; increased bioavailability; and increased plasma half-life relative to a non-glycosylated analog of the compound.

16. The compound according to Claim 13 wherein a particular sugar motif serves as a stable surrogate for a specific amino acid residue.

17. A compound selected from the group consisting of:

Compounds of Formula V and pharmaceutically acceptable salts, esters and prodrugs thereof:



Formula V

where R₁ is any carbohydrate including mono-, di-, tri-, and tetrasaccharides and larger, which may contain one or more amino sugars, deoxy sugars or sialic acid sugars in any combination and in which any hydroxyl, amino or carboxyl functions

are suitably modified by sulfation, alkylation, acylation, deoxygenation, diazotization, pegylation, and silylation;

R₇, when substituted with NH₂ and CO₂H, is any natural or synthetic peptide containing one or more amino acid residues with side chains bearing a hydroxyl or
5 amine function such as serine, threonine, hydroxyproline, tyrosine, lysine, hydroxylysine, arginine, or any other amino acid surrogates containing a hydroxyl or amine function on the side chain;

and n is any integer from 1 to 200.

10 18. The compound according to Claim 17, wherein n is any integer from 1 to 100.

19. The compound according to Claim 17 having characteristics comprising: increased stability in the presence of peptidases; increased stability in
15 the presence of proteases; increased thermal stability; increased dimer half-life; increased bioavailability; and increased plasma half-life relative to a non-glycosylated analog of the compound.

20 20. The compound according to Claim 17 wherein a particular sugar motif serves as a stable surrogate for a specific amino acid.

21. A method for producing the compound of Claim 1 comprising reacting an α -amino group of a peptide molecule with a carboxylic acid group, joined through a linker or spacer to a carbohydrate moiety to yield a glycopeptide.

25

22. The method according to Claim 21 wherein the stability of the glycopeptide towards peptidase enzymes is increased relative to the peptide.

23. A method for producing the compound of Claim 5 comprising reacting
30 an amine or hydroxyl group on a side chain of an amino acid within a peptide molecule with a carboxylic acid group, joined through a linker or spacer to a carbohydrate moiety to yield a glycopeptide.

24. The method according to Claim 23 wherein the stability of the glycopeptide towards peptidase enzymes is increased relative to the peptide.

5 25. A method for producing the compound of Claim 9 comprising reacting an α -carboxyl group of a peptide molecule with an amino group, joined through a linker or spacer to a carbohydrate moiety to yield a glycopeptide.

26. The method according to Claim 25 wherein the stability of the glycopeptide towards peptidase enzymes is increased relative to the peptide.

10

27. A method of producing the compound of Claim 13 comprising reacting a carboxyl group on a side chain of an amino acid within a peptide molecule with an amino group, joined through a linker or spacer to a carbohydrate moiety to yield a glycopeptide.

15

28. The method according to Claim 27 wherein stability of the glycopeptide towards peptidase enzymes is increased relative to the peptide.

20 29. A method of producing the compound of Claim 17 comprising glycosylating a hydroxyl or amino group on a side chain of an amino acid within a peptide molecule with a carbohydrate moiety activated at the anomeric position to yield a glycopeptide.

25 30. The method according to Claim 29 wherein the stability of the glycopeptide towards peptidase enzymes is increased relative to the peptide.